



11) Publication number:

0 433 679 A3

## (2) EUROPEAN PATENT APPLICATION

(2) Application number: 90122096.2 (51) Int. Cl.<sup>5</sup>: A61K 31/535, A61K 31/47

② Date of filing: 19.11.90

Priority: 20.11.89 JP 301413/89

43 Date of publication of application: 26.06.91 Bulletin 91/26

Designated Contracting States:
AT BE CH DE DK ES FR GB GR IT LI LU NL SE

Date of deferred publication of the search report: 04.03.92 Bulletin 92/10

(71) Applicant: Senju Pharmaceutical Co., Ltd.

5-8, Hiranomachi 2-chome, Chuo-Ku Osaka-shi, Osaka 541(JP)

Inventor: Inoue, Jun 13-57 Shojaku 4-chome Settsu-shi, Osaka-fu(JP)

Representative: Kinzebach, Werner, Dr. et al Patentanwälte Reitstötter, Kinzebach und Partner Sternwartstrasse 4 Postfach 86 06 49 W-8000 München 86(DE)

- (54) Pharmaceutical compositions for inhibition of maillard's reaction.
- Presented are pharmaceutical compositions comprising in admixture with a carrier a compound of; (a) the formula (I) or a pharmaceutically acceptable salt thereof:

$$R_3$$
 $R_1$ 
 $R_1$ 
 $R_1$ 

wherein  $R_1$  and  $R_2$  are each hydrogen, methyl, trifluoromethyl, carboxy, methoxycarbonyl or ethoxycarbonyl, and  $R_3$  is hydrogen or hydroxy;

(b) the formula (I) or a pharmaceutically acceptable salt thereof:

$$R_3$$
 $R_1$ 
 $R_1$ 
 $R_1$ 
 $R_1$ 

wherein R, R2 and R3 are as defined;

(c) the formula (III) or a pharmaceutically acceptable salt thereof:

$$\begin{array}{c} R_{5} \\ R_{6} \\ \hline \\ 0 \\ \hline \end{array}$$

wherein  $R_4$  and  $R_5$  are each hydrogen or form, by incorporation of  $C_1$  and  $C_2$  carbon atoms, a condensed [2.1-b] pyridine ring optionally substituted with a hydroxyl group or a carboxyl group, and  $R_6$  is hydrogen or hydroxy; or

(d) the formula (IV) or a pharmaceutically acceptable salt thereof:

$$\begin{array}{c} & & & & & \\ & & & & \\ & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

wherein  $R_4$ ,  $R_5$  and  $R_6$  are as defined.

The compositions are used to inhibit Maillard's reaction in human body, the reaction which may be responsible for the development of diabetic complications and age-associated disorders. Methods of treatment and prophylaxis of such complications and disorders are also presented.



## **EUROPEAN SEARCH REPORT**

EP 90 12 2096

DOCUMENTS CONSIDERED TO BE RELEVANT				
ategory		th indication, where appropriate, evant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. CI.5)
X	US-A-4 359 455 (NAKAMURA et al.)  * Column 7, example no. 5 *		1-4	A 61 K 31/535 A 61 K 31/47
X	DATABASE WPIL, accession no. 81-52731D, Derwent Publications Ltd, London, GB; & JP-A-56 065 874 (MITSUI TOATSU CHEM. INC.)  * Abstract *		t Pub- 1-4	
X	DE-A-3 904 731 (KIKKOM * Page 3, lines 13-17 *	AN CORP.)	1-4	
X,P	WO-A-9 001 558 (POLAR	OID CORP.)	1-4	
Α	CHEMICAL ABSTRACTS, v page 402, abstract no. 1687 & JP-A-81 164 112 (S. SHIC * Abstract *	726x, Columbus, Ohio, US		
Α	US-A-4 861 775 (ZEECK 6 * The whole document *	et al.)	1-5	
				TECHNICAL FIELDS SEARCHED (Int. CI.5)
				A 61 K
	The present search report has I			
Place of search Date of completion of some Date of Completion of Co			Examiner GERLI P.F.M.	
CATEGORY OF CITED DOCUMENTS  X: particularly relevant if taken alone  Y: particularly relevant if combined with another document of the same catagory  A: technological background			E: earlier patent document, but published on, or after the filing date D: document cited in the application L: document cited for other reasons  8: member of the same patent family, corresponding	
A: O: P:	document of the same catagory		L: document cited fo	or other reasons